Group Art Unit: 1624

Amendments to the Claims:

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

1. (**Currently Amended**) A compound according to formula (I):

$$R^{1}$$
 N
 $R^{"}$
 $R^{"}$
 $R^{"}$
 R^{2}
 R^{2}
 R^{2}
 R^{2}

wherein:

A is C(O) or CH(OH); R¹ is

$$R^4$$
 R^7
 R^8
 R^8

 $R^2 \text{ is H, C}_{1\text{-}6} \text{alkyl, C}_{3\text{-}6} \text{cycloalkyl-C}_{0\text{-}6} \text{alkyl, Ar-C}_{0\text{-}6} \text{alkyl, Het-C}_{0\text{-}6} \text{alkyl, R}^5 \text{C(O)-, R}^5 \text{C(S)-, R}^5 \text{SO}_{2\text{-}}, R^5 \text{OC(O)-, R}^5 \text{R'NC(O)-, R}^5 \text{R'NC(S)-, adamantyl-C(O)-, or R}^5 \text{R'NC(S)-, adamantyl-C(O)-, or R}^5 \text{R'NC(S)-, R}^5 \text{R'NC$

$$R^7 \longrightarrow R^6 \times Z \times R^3$$

R" is H, C₁₋₆alkyl, Ar-C₀₋₆alkyl, or Het-C₀₋₆alkyl;

R"' is H, C_{1-6} alkyl, C_{3-6} cycloalkyl- C_{0-6} alkyl, Ar- C_{0-6} alkyl, or Het- C_{0-6} alkyl; wherein:

each R^3 defined above independently is H, C₂₋₆alkenyl, C₂₋₆alkynyl, Het, Ar or C₁₋₆alkyl optionally substituted by OR', SR', NR'₂, R'NC(O)OR⁵, CO₂R', CO₂NR'₂, N(C=NH)NH₂, Het or Ar;

each R^4 defined above is H, $C_{1\text{-}6}$ alkyl, $C_{3\text{-}6}$ cycloalkyl- $C_{0\text{-}6}$ alkyl, Ar- $C_{0\text{-}6}$ alkyl, Het- $C_{0\text{-}6}$ alkyl, $R^5C(O)$ -, $R^5C(S)$ -, R^5SO_2 -, $R^5OC(O)$ -, $R^5R'NC(O)$ -, $R^5R'NC(O)$ -, or $R^5OC(O)NR'CH(R')C(O)$ -;

each- R^5 defined above independently is C_{3-6} cycloalkyl- C_{0-6} alkyl, Ar- C_{0-6} alkyl, Het- C_{0-6} alkyl, Ar- C_{0-6} alkoxy, Het- C_{0-6} alkoxy, or C_{1-6} alkyl;

wherein R⁵ is substituted by one or two substituents selected from the group consisting of Cl, Br, F, CF₃, C₁₋₄alkyl, OH, C₁₋₄alkoxy, CN, CONH₂, NH₂, or NO₂, or substituted by methylenedioxy, or

$$CH_2$$
 CH_2
 CH_2

each R^6 defined above is H, C_{1-6} alkyl, Ar- C_{0-6} alkyl, or Het- C_{0-6} alkyl; and each R^7 defined above is H, C_{1-6} alkyl, C_{3-6} cycloalkyl- C_{0-6} alkyl, Ar- C_{0-6} alkyl, R⁵C(O)-, R⁵C(S)-, R⁵SO₂-, R⁵OC(O)-, R⁵R'NC(O)-, R⁵R'NC(O)-, or R⁵OC(O)NR'CH(R')C(O)-; or

R⁶ and R⁷ defined above are connected to form a pyrrolidine, a piperidine, or a morpholine ring;

each R' defined above for R¹, R², R³, R⁴, R⁶, and R⁷ and herein below independently is H, C₁₋₆alkyl, Ar-C₀₋₆alkyl, or Het-C₀₋₆alkyl; or phenyl or naphthyl substituted by one to three moieties selected from C₁₋₄alkyl, OR', N(R')₂, SR', OH, OC₁₋₆alkyl, NH₂, N(C₁₋₆alkyl)₂, SH, S(C₁₋₆alkyl), CF₃, NO₂, CN, CO₂R',

CON(R'), CO₂H, CO₂(C₁₋₆alkyl), CON(H)₂, or CON(C₁₋₆alkyl)₂, F, Cl, Br, and I, or-substituted by a methylenedioxy group;

 R^* defined above is H, $C_{1\text{-}6}$ alkyl, $C_{3\text{-}6}$ cycloalkyl- $C_{0\text{-}6}$ alkyl, Ar- $C_{0\text{-}6}$ alkyl, or Het- $C_{0\text{-}6}$ alkyl;

Y is a single bond or O; each Z independently is CO or CH₂; and n is 0, 1, or 2;

wherein each Ar defined above is independently unsubstituted phenyl or naphthyl; or phenyl or naphthyl substituted by one or more of Ph-C $_{0-6}$ alkyl, Het-C $_{0-6}$ alkyl, C $_{1-6}$ alkoxy, Ph-C $_{0-6}$ alkoxy, Het-C $_{0-6}$ alkoxy, OH, (CH $_{2}$) $_{1-6}$ NR'R', O(CH $_{2}$) $_{1-6}$ NR'R';

wherein each R' as defined in Ar independently is H, C₁₋₆alkyl, Ar-C₀₋₆alkyl, or Het-C₀₋₆alkyl; or phenyl or naphthyl substituted by one to three moieties selected from C₁₋₄alkyl, OH, O(C₁₋₆alkyl), N(H)₂, N(C₁₋₆alkyl)₂, SH, S(C₁₋₆alkyl), CF₃, NO₂, CN, CO₂H, CO₂(C₁₋₆alkyl), CON(H)₂, CON(or C₁₋₆alkyl)₂,F, Cl, Br, I, or a methylenedioxy;

wherein each Het defined above is independently a stable 5- to 7-membered monocyclic or a stable 7- to 10-membered bicyclic heterocyclic ring, which is saturated or unsaturated, and which consists of carbon atoms and from one to four heteroatoms selected from the group consisting of N, O and S;

wherein the nitrogen and sulfur heteroatoms are optionally oxidized, and the nitrogen heteroatom is optionally quaternized;

wherein the bicyclic group of the bicyclic heterocyclic ring is fused to a benzene ring, and which optionally is substituted with one or two moieties selected from C_{1-4} alkyl, OR', $N(R')_2$, SR', CF_3 , NO_2 , CN, CO_2R' , CON(R'), F, Cl, Br and I; and

wherein each R' as defined in the bicyclic group of the bicyclic heterocyclic ring independently is H, C₁₋₆alkyl, Ar-C₀₋₆alkyl, or Het-C₀₋₆alkyl; or phenyl or naphthyl substituted by one to three moieties selected from C₁₋₄alkyl, OH, OC₁₋₆alkyl, N(H)₂, N(C₁₋₆alkyl)₂, SH, SC₁₋₆alkyl, CF₃, NO₂, CN, CO₂H, CO₂(C₁₋₆alkyl), CONH₂, CON(C₁₋₆alkyl)₂, F, Cl, Br and I, or a methylenedioxy group;

or a pharmaceutically acceptable salt thereof.

2 (**Previously Presented**). A compound according to claim 1, wherein R¹ is

$$\mathbb{R}^4$$
 \mathbb{R}^7
 \mathbb{R}^3

3 (**Previously Presented**). A compound according to claim 2, wherein R^4 is $R^5C(O)$ -, R^5SO_2 -, or $R^5OC(O)$ -.

- 4 (**Previously Presented**). A compound according to claim 3, wherein R^5 in the R^4 group is Ar-C₀₋₆alkyl or Het-C₀₋₆alkyl.
- 5 (**Previously Presented**). A compound according to claim 4, wherein the Ar- C_{0-6} alkyl of the R 4 group is phenyl or benzyl, unsubstituted or substituted by one or two substituents selected from the group consisting of Cl, Br, F, CF₃, C₁₋₄alkyl, OH, C₁₋₄alkoxy, CN, CONH₂, NH₂, or NO₂, methylenedioxy;

, and
$$\stackrel{\mathsf{N}}{\longmapsto}^{\mathsf{CH}_2}$$

6 (**Original**). A compound according to claim 2 wherein, in said R^1 group, R' is H or CH_3 and R^3 is i-butyl.

7 (**Withdrawn**). A compound according to claim 1 wherein R^1 is

8 (Withdrawn). A compound according to claim 1 wherein R² is

$$R^7 \nearrow N^6 X X$$

9 (Withdrawn). A compound according to claim 8 wherein R⁷ is R⁵OC(O)-.

10 (**Withdrawn**). A compound according to claim 9 wherein R^5 in said R^7 group is $Ar-C_{0-6}$ alkyl or $Het-C_{0-6}$ alkyl.

11 (**Withdrawn**). A compound according to claim 10 wherein R⁵ in said R⁷ group is phenyl or benzyl which are unsubstituted or substituted by one or two of the group consisting of Cl, Br, F, CF₃, C₁₋₄alkyl, OH, C₁₋₄alkoxy, CN, CONH₂, NH₂, or NO₂, or substituted by methylenedioxy; or 2-, 3-, or 4-pyridyl-CH₂-.

Claim 12 (Cancelled).

13 (**Withdrawn**). A compound according to claim 8 wherein, in said R² group, R⁶ is H or CH₃ and R³ is i-butyl.

Claim 14 (Cancelled).

15 (**Original**). A compound according to claim 1 wherein A is C(O).

16 (Previously Presented). A compound which is:

Group Art Unit: 1624

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-$

[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-(phenoxybenzamide)]-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-(biphenylethanoyl)]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-(biphenylethanoyl)]-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-$

[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(tert-butoxyoxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(tert-butoxyoxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinonone;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-(aminomethyl)pentanoyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-pyrrolidinone;$

 $\hbox{$4$-[[N^\alpha$-(benzyloxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;}$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(N-tert-butoxycarbonyl)ethanoyl]aminomethyl]pentanoyl]-3-pyrrolidinone;$

 $\label{eq:condition} 4\hbox{-}[[N^\alpha\hbox{-}(benzyloxycarbonyl)\hbox{-}L\hbox{-}leucinyl]amino]\hbox{-}1\hbox{-}[(2S)\hbox{-}4\hbox{-}methyl\hbox{-}2\hbox{-}[(ethanoyl)\hbox{aminomethyl}]pentanoyl]\hbox{-}3\hbox{-}pyrrolidinone;}$

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(tert-butoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(tert-butoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^a-(benzyloxycarbony)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(benzyloxycarbony)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl)amino]ethanoyl]-3-pyrrolidinonol;$

 $4-[[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl) amino]ethanoyl]-3-pyrrolidinonone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbony)-L-leucinyl]amino]-1-[(2S)-3-tert-butoxy-[[(benzyloxycarbonyl)]amino]propanoyl]-3-pyrrolidinol;$

 $(3RS,4RS)-4-[[N^\alpha-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-2-[[(benzyloxycarbonyl)]amino]propanoyl]-3-pyrrolidinol;$

Group Art Unit: 1624

 $4-[[N^{\alpha}-(benzyloxycarbony)-L-leucinyl]amino]-1-[(2S)-2-$

[[(benzyloxycarbonyl)]amino]propanoyl]-3-pyrrolidinone;

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[cyclohexanepropanoyl]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[cyclohexanepropanoyl]-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(4-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(4-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(2-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(2-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;$

(3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(3-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(3-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;$

(3RS,4RS)-4- $[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]$ amino]-1-(2-pyridylcarbonyl)-3-pyrrolidinol;

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(2-pyridylcarbonyl)-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;$

(3RS,4RS)-4- $[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]$ amino]-1-[4-(biphenyl)ethanoyl]-3-piperidinol;

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-(biphenyl)ethanoyl]-3-piperidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-piperidinol;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-piperidinone;$

 $\hbox{$4$-[[N^\alpha$-(benzyloxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-piperidinone;}$

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-$

[[(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-3-piperidinol;

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[[(benzyloxycarbonyl)] isobutylamino]ethanoyl]-3-piperidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(tert-butoxycarbonyl)amino]ethanoyl]-3-piperidinol;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(tert-butoxycarbonyl) amino]ethanoyl]-3-piperidinone;$

Group Art Unit: 1624

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-(amino)ethanoyl]-3-piperidinone;$

(3RS,4RS)-4- $[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]$ amino]-1-(4-methylpentanoyl)-3-piperidinol;

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentanoyl)-3-piperidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(benzoyl)-3-piperidinol;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(benzoyl)-3-piperidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(acetyl)-3-piperidinol;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(acetyl)-3-piperidinone;$

(3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(2-pyridoxyacetyl)-3-piperidinol;

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(2-pyridoxyacetyl)-3-piperidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-$

[(benzyloxycarbonyl)methylamino]ethanoyl]-3-piperidinol;

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl) methylamino]ethanoyl]-3-piperidinone;$

(3RS,4RS)-4-[[N^{α}-(benzyloxycarbony)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinol;

 $4-[[N^{\alpha}-(benzyloxycarbony)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl)methylamino]ethanoyl]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl) methylamino]ethanoyl]-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-(phenoxy)ethanoyl]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-(phenoxy)ethanoyl]-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2-phenyl)ethanoyl]-3-pyrrolidinol;$

 $\label{eq:carbonyl} 4-[[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2-phenyl)\ ethanoyl]-3-pyrrolidinone;$

(3RS,4RS)-4- $[[N^{\alpha}-(4-pyridinylmethoxycarbony)-L-leucinyl]$ amino]-1-ethanoyl-3-pyrrolidinol;

4- $[[N^{\alpha}-(4-pyridinylmethoxycarbony)-L-leucinyl]$ amino]-1-ethanoyl-3-pyrrolidinone;

 $(3RS,4RS)-4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-cyanobenzoyl)-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-cyanobenzoyl)-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-pyrrolidinol;$

Group Art Unit: 1624

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-3-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(3-pyridinylmethoxycarbonyl)-L-leucinyl]$ amino]-1-tert-butoxycarbonyl-3-pyrrolidinone;

 $4-[[N^{\alpha}-(3-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}idinylmethoxycarbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(4-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(4-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;$

 $4\hbox{-}[[N^\alpha\hbox{-}(3\hbox{-}isoquinoline carbonyl)\hbox{-}L\hbox{-}leucinyl]amino]\hbox{-}1\hbox{-}[(2S)\hbox{-}4\hbox{-}methyl\hbox{-}2\hbox{-}[[(benzyloxycarbonyl)]amino]pentyl]\hbox{-}3\hbox{-}pyrrolidinone;}$

 $(3RS,4RS)-4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[1-(adamantyl)carbonyl]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[1-(adamantyl)carbonyl]-3-pyrrolidinone;$

(3RS,4RS)-4- $[[N^{\alpha}$ -(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methyl-pentanoyl)-3-pyrrolidinol;

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methyl-pentanoyl)-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-D-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-D-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol;$

4- $[[N^{\alpha}-(\text{tert-butoxycarbonyl})-L-|\text{leucinyl}]$ amino]-1-[(2S)-4-methyl-2-methyl]

[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-N^{\epsilon}-(tert-butoxycarbonyl)-L-lysine]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-N^{\epsilon}-(tert-butoxycarbonyl)-L-lysine]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;$

Group Art Unit: 1624

 $(3RS,4RS)-4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-piperidinol;$

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-piperidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentanoyl)-3-piperidinol;$

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentanoyl)-3-piperidinone;$

(3RS,4RS)-4-[[N^{α}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-3-piperidinol;

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-3-piperidinone;$

(3RS,4RS)-4-[[N-2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol;

4-[[N-2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(methanesulphonyl)-3-piperidinol;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(methanesulphonyl)-3-piperidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(phenylsulphonyl)-3-piperidinol;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(phenylsulphonyl)-3-piperidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(8-quinolinesulphonyl)-3-pyrrolidinol;$

4-[[N $^{\alpha}$ -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(8-quinolinesulphonyl)-3-pyrrolidinone;

 $(3RS,4RS)-4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(2-pyridylsulphonyl)-3-pyrrolidinone;$

4-[[N $^{\alpha}$ -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(2-pyridylsulphonyl)-3-pyrrolidinone;

(3RS,4RS)-4-[[N $^{\alpha}$ -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2-propoxy)carbonyl]-3-pyrrolidinol;

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2-propoxy)carbonyl]-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(3-methy-1-propoxy)carbonyl]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]$ amino]-1-[(3-methyl-1-propoxy)carbonyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N^{α}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(4-phenoxy)phenylsulphonyl]-3-pyrrolidinol;

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(4-phenoxy)phenyl sulphonyl]-3-pyrrolidinone;$

Group Art Unit: 1624

 $(3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(4-phenoxy) phenylsulphonyl]-3-piperidinol;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(4-phenoxy)phenyl sulphonyl]-3-piperidinone;$

(3RS,4RS)-4- $[[N^{\alpha}-(3,4-dichlorobenzoyl)-L-leucinyl]$ amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;

4-[[N^α-(3,4-dichlorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-

[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4- $[[N^{\alpha}$ -(6-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;

4-[[N^α-(6-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-

[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-

[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;

4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-

[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;

(3RS,4RS)-4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-

[[(benzyloxycarbonyl)]methylamino]pentanoyl]-3-pyrrolidinol;

4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-

[[(benzyloxycarbonyl)]methylamino]pentanoyl]-3-pyrrolidinone;

(3RS,4RS)-4- $[[N^{\alpha}$ -(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinol;

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(2-pyridylcarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinol;$

4-[[N^α-(2-pyridylcarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;

 $(3RS,4RS)-4-[[N^{\alpha}-(3-chlorobenzoyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinol;$

 $4-[[N^{\alpha}-(3-\text{chlorobenzoyl})-L-\text{leucinyl}]$ amino]-1-(4-methylpentyl)-3-piperidinone;

(3RS,4RS)-4-[[N $^{\alpha}$ -(2-quinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinol;

 $4-[[N^{\alpha}-(2-quinoline carbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;$

(3RS,4RS)-4-[[N $^{\alpha}$ -(3,4-dichlorobenzoyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinol;

 $4-[[N^{\alpha}-(3,4-dichlorobenzoyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;$

(3RS,4RS)-4- $[[N^{\alpha}-(8-quinolinecarconyl)-L-leucinyl]$ amino]-1-(4-methylpentyl)-3-piperidinol;

 $4-[[N^{\alpha}-(8-quinoline carbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone$

(3RS,4RS)-4- $[[N^{\alpha}-(3-isoquinolinecarbonyl)-L-leucinyl]$ amino]-1-(4-methylpentyl)-3-piperidinol;

4-[[N $^{\alpha}$ -(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;

 $(3RS,4RS)-4-[[N^{\alpha}-(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinol;$

```
U.S. Serial No.:
                       10/789,063
Group Art Unit:
                       1624
       4-[[N<sup>α</sup>-(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-
piperidinone;
       (3RS,4RS)-4-[[N^{\alpha}-(acetyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinol;
       4-[[N^{\alpha}-(acetyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;
       (3RS,4RS)-4-[[N^{\alpha}-(p-trifluoromethylbenzenesulphonyl)-L-leucinyl]amino]-1-(4-
methylpentyl)-3-piperidinol;
       4-[[N^{\alpha}-(p-trifluoromethylbenzenesulphonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-
piperidinone;
       (3RS,4RS)-4-[[N^{\alpha}-(6-quinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-
piperidinol;
       4-[[N^{\alpha}-(6-quinoline carbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;
       (3RS,4RS)-4-[[2-(RS)-(3-biphenyl)-4-methyl]amino]pentanoyl]-1-(4-methylpentyl)-
3-piperidinol;
       4-[[2-(RS)-[(3-biphenyl)-4-methyl]amino]pentanoyl]-1-(4-methylpentyl)-3-
piperidinone;
       (3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-
[(benzyloxycarbonyl)methylamino]ethyl]-3-piperidinol;
       4-[[Nα-(benzyloxycarbonyl)-L-leucinyl]amino]-1-
[2-[(benzyloxycarbonyl)methylamino]ethyl]-3-piperidinone;
       (3RS,4RS)-4-[[N<sup>\alpha</sup>-(a-toluenesulphonyl)-L-leucinyl]amino]-1-
[3-(2-pyridyl)phenylacetyl)]-3-piperidinol;
       4-[[N^{\alpha}-(a-toluenesulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl) phenylacetyl)]-3-
piperidinone;
       (3RS,4RS)-4-[[N^{\alpha}-(2-naphthylcarbonyl)-L-leucinyl]amino]-1-
[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;
       4-[[N^{\alpha}-(2-naphthy|carbony|)]-L-leuciny|]amino]-1-[3-(2-pyridy|) phenylacety|)]-3-
piperidinone;
       (3RS,4RS)-4-[[N^{\alpha}-(benzensulphonyl)-L-leucinyl]amino]-1-
[3-(2-pyridyl)phenylacetyl)]-3-piperidinol;
       4-[[N^{\alpha}-(benzensulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-
piperidinone;
       (3RS,4RS)-4-[[N^{\alpha}-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-
[3-(2-pyridyl)phenylacetyl)]-3-piperidinol;
       4-[[N^{\alpha}-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl) phenylacetyl)]-3-
```

 $4-[[N^{\alpha}-(3-isoquinolinecarbonyl)-L-leucinyl]$ amino]-1-[3-(2-pyridyl) phenylacetyl)]-3-piperidinone;

(3RS,4RS)-4-[3-[(2-pyridyl)phenylacetyl)]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol;

4-[3-[(2-pyridyl)phenylacetyl)]amino]-1-[(2S)-4-methyl-2-

[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;

(3RS,4RS)-4-[3-[(2-pyridyl)phenylacetyl)]amino]-1-[(2S)-4-methyl-2-[[(2-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-piperidinol;

4-[3-[(2-pyridyl)phenylacetyl)]amino]-1-[(2S)-4-methyl-2-[[2-(pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-piperidinone;

```
U.S. Serial No.:
                                                                      10/789,063
Group Art Unit:
                                                                      1624
                       (3RS,4RS)-4-[[N^{\alpha}-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
                       4-[[N^{\alpha}-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
                       4-[[N^{\alpha}-(tert-butoxyoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
                       4-[(L-leucinyl)amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)] amino]pentyl]-3-
pyrrolidinone;
                       (3RS,4RS)-4-[[N^{\alpha}-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-met
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
                       4-[[N^{\alpha}-(2-quinoline carbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
                       (3RS,4RS)-4-[[N^{\alpha}-(piperonylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
                       4-[[N<sup>α</sup>-(piperonylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
                       (3RS,4RS)-4-[[N^{\alpha}-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
                       4-[[N^{\alpha}-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
                      (3RS,4RS)-4-[[N^{\alpha}-(2-pyridylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methyl-2-methy
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
                       4-[[N^{\alpha}-(2-pyridylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
                       (3RS,4RS)-4-[[N^{\alpha}-(2-nitro-a-toluenesulphonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-
2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
                       4-[[N<sup>α</sup>-(2-nitro-a-toluenesulphonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
                       (3RS,4RS)-4-[[N^{\alpha}-(8-quinolinesulphonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
                       4-[[N^{\alpha}-(8-quinolinesulphonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
                       (3RS,4RS)-4-[[N^{\alpha}-(2-naphthylcarbonyl)-L-leucinyl]aminomethyl]-1-
[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
                       4-[[N^{\alpha}-(2-naphthylcarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
                       (3RS,4RS)-4-[[N^{\alpha}-(2-quinolinylcarbonyl)-L-leucinyl]aminomethyl]-1-
[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
                       4-[[N^{\alpha}-(2-quinolinylcarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
                       (3RS,4RS)-4-[[N^{\alpha}-(phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;
                       4-[[N^{\alpha}-(phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
```

[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;

Group Art Unit: 1624

 $(3RS,4RS)-4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;$

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;$

 $4\hbox{-}[[N^\alpha\hbox{-}(4\hbox{-pyridinylmethoxycarbonyl})\hbox{-}L\hbox{-leucinyl}] amino]\hbox{-}1\hbox{-}[(2R)\hbox{-}4\hbox{-methyl}\hbox{-}2\hbox{-}[[(benzyloxycarbonyl)]amino]pentyl}]\hbox{-}3\hbox{-piperidinone};$

 $(3RS,4RS)-4-[[N^{\alpha}-(phenylacetyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;$

 $4-[[N^{\alpha}-(phenylacetyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-$

[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;

(3RS,4RS)-4- $[[N^{\alpha}-(4-imidazoleacetyl)-L-leucinyl]$ amino]-1-[(2R)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;

 $4-[[N^{\alpha}-(4-imidazoleacetyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(4-imidazoleacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;$

 $4-[[N^{\alpha}-(4-imidazoleacetyl)-L-leucinyl]$ amino]-1-[(2S)-4-methyl-2-

[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;

 $(3RS,4RS)-4-[[N^{\alpha}-(4-pyridinylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;$

 $4-[[N^{\alpha}-(4-pyridinylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;$

 $4-[[N^{\alpha}-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-piperidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(8-quinolinesulphonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-piperidinol;$

4-[[N $^{\alpha}$ -(8-quinolinesulphonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-piperidinone;

 $(3RS,4RS)\text{-}4\text{-}[[N^{\alpha}\text{-}(4\text{-pyridinylacetyl})\text{-}L\text{-}leucinyl]amino]\text{-}1\text{-}(benzyloxycarbonyl)\text{-}3\text{-}piperidinol};$

 $4-[[N^{\alpha}-(4-pyridinylacetyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-piperidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(4-imidazoleacetyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-piperidinol;$

4-[[N $^{\alpha}$ -(4-imidazoleacetyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-piperidinone; (3RS,4RS)-4-[[N $^{\alpha}$ -(4-pyridinylcarbonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-piperidinol;

 $4-[[N^{\alpha}-(4-pyridinylcarbonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-piperidinone;$

(3RS,4RS)-1-benzyl-4-[[N $^{\alpha}$ -(3-isoquinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;

1-benzyl-4-[[N^{α} -(3-isoquinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone; (3RS,4RS)-1-benzyl-4-[[N^{α} -(3,4-dichlorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinol;

Group Art Unit: 1624

1-benzyl-4- $[N^{\alpha}$ -(3,4-dichlorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-benzyl-4-[[N^{α} -(2-naphthylcarbonyl)-L-leucinyl]aminomethyl]-3-pyrrolidinol;

1-benzyl-4- $[[N^{\alpha}$ -(2-naphthylcarbonyl)-L-leucinyl]aminomethyl]-3-pyrrolidinone;

(3RS,4RS)-1-benzyl-4-[[N $^{\alpha}$ -(2-quinolinylcarbonyl)-L-leucinyl] aminomethyl]-3-pyrrolidinol;

1-benzyl-4- $[N^{\alpha}$ -(2-quinolinylcarbonyl)-L-leucinyl]aminomethyl]-3-pyrrolidinone;

(3RS,4RS)-1-benzyl-4- $[[N^{\alpha}-(2-quinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;$

1-benzyl-4- $[[N^{\alpha}-(2-quinolinylcarbonyl)-L-leucinyl]$ amino]-3-pyrrolidinone;

(3RS,4RS)-1-benzyl-4- $[[N^{\alpha}$ -(piperonylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;

1-benzyl-4- $[N^{\alpha}$ -(piperonylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-benzyl-4- $[[N^{\alpha}-(4-fluorobenzoyl)-L-leucinyl]$ amino]-3-pyrrolidinol;

1-benzyl-4- $[N^{\alpha}$ -(4-fluorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-benzyl-4- $[[N^{\alpha}-(6-hydroxy-2-naphthylcarbonyl)-L-leucinyl]$ amino]-3-pyrrolidinol;

1-benzyl-4- $[[N^{\alpha}$ -(6-hydroxy-2-naphthylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-benzyl-4- $[[N^{\alpha}-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;$

1-benzyl-4- $[N^{\alpha}$ -(2-naphthylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-benzyl-4- $[[N^{\alpha}-(6-quinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;$

1-benzyl-4- $[N^{\alpha}$ -(6-quinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-benzyl-4- $[[N^{\alpha}-(4-imidazoleacetyl)-L-leucinyl]amino]-3-pyrrolidinol;$

1-benzyl-4- $[N^{\alpha}$ -(4-imidazoleacetyl)-L-leucinyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-benzyl-4-[[N^a-(4-pyridinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;

1-benzyl-4- $[N^{\alpha}$ -(4-pyridinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

 $4-[[N^{\alpha}-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-benzyloxycarbonyl-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-$

[(2R)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;

 $4-[[N^{\alpha}-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-$

[(2S)-4-methyl-2-[[(tert-butoxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

 $4-[[N^{\alpha}-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(tert-butoxycarbonyl)]amino]pentyl]-3-pyrrolidinone;$

4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-

[(2S)-4-methyl-2-(amino)pentyl]-3-pyrrolidinone;

 $(3RS,4RS)-4-[[N^{\alpha}-(2-methylpropoxy)carbonyl]-L-leucinyl]amino]-1-$

[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;

 $4-[[N^{\alpha}-(2-methylpropoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2$

[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

 $(3RS,4RS)-4-[[N^{\alpha}-(methylamino)thiocarbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;$

Group Art Unit: 1624

 $4-[[N^{\alpha}-(methylamino)thiocarbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(phenylmethylamino)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(phenylmethylamino)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(3,4-dichlorophenylamino)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(3,4-dichlorophenylamino)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;$

(3RS,4RS)-1-benzyl-4- $[[N^{\alpha}-(3,4-dichlorophenylamino)-L-leucinyl]amino]-3-pyrrolidinol;$

1-benzyl-4- $[N^{\alpha}$ -(3,4-dichlorophenylamino)-L-leucinyl]amino]-3-pyrrolidinone;

 $(3RS,4RS)-4-[[N^{\alpha}-(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(p-toluenesulphonyl)amino]pentyl]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(1,2,3,4-\text{tetrahydro-}6-\text{quinolinecarbonyl})-\text{L-leucinyl}]$ amino]-1-[(2S)-4-methyl-2-[(p-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;

 $(3RS,4RS)-4-[[N^{\alpha}-(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(acetyl)amino]pentyl]-3-pyrrolidinol;$

4-[[[N $^{\alpha}$ -(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(acetyl)amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4- $[[N^{\alpha}-(4-fluorobenzoyl)-L-leucinyl]$ amino]-1-[(2S)-4-methyl-2-[(acetyl)amino]pentyl]-3-pyrrolidinol;

4-[[[N $^{\alpha}$ -(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(acetyl)amino]pentyl]-3-pyrrolidinone;

 $(3RS,4RS)-4-[[N^{\alpha}-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(p-toluenesulphonyl)amino]pentyl]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(p-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(methanesulphonyl)amino]pentyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(methanesulphonyl)amino]pentyl]-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(a-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(a-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;$

(3RS,4RS)-1-(2-phenethyl)-4-[[N $^{\alpha}$ -(4-fluorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinol;

 $1\hbox{-}(2\hbox{-phenethyl})\hbox{-}4\hbox{-}[[N^\alpha\hbox{-}(4\hbox{-fluorobenzoyl})\hbox{-}L\hbox{-leucinyl}] amino]\hbox{-}3\hbox{-pyrrolidinone};$

(3RS,4RS)-1-(2-phenethyl)-4-[[N^{α} -(2-quinolinylcarbonyl)-L-leucinyl] amino]-3-pyrrolidinol;

1-(2-phenethyl)-4- $[[N^{\alpha}$ -(2-quinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

Group Art Unit: 1624

(3RS,4RS)-1-(2-phenethyl)-4-[[N^{α} -(2-naphthylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;

1-(2-phenethyl)-4- $[N^{\alpha}$ -(2-naphthylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-(2-phenethyl)-4-[[N^{α} -(α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinol;

1-(2-phenethyl)-4- $[[N^{\alpha}-(\alpha-toluenesulphonyl)-L-leucinyl]$ amino]-3-pyrrolidinone;

(3RS,4RS)-1-(2-phenethyl)-4-[[N $^{\alpha}$ -(2-nitro- α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinol;

1-(2-phenethyl)-4-[[N^{α} -(2-nitro- α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;

 $(3RS,4RS)-4-[[N^{\alpha}-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(4-pyridinylcarbonyl)]amino]pentyl]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(4-pyridinylcarbonyl)] amino]pentyl]-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(p-toluenesulphonyl)]amino]pentyl]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(p-toluenesulphonyl)]amino]pentyl]-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(4-imidazoleacetyl)]amino]pentyl]-3-pyrrolidinol;$

 $4\hbox{-}[[N^\alpha\hbox{-}(2\hbox{-phenylacetyl})\hbox{-}L\hbox{-leucinyl}]amino]\hbox{-}1\hbox{-}[(2S)\hbox{-}4\hbox{-methyl-}2\hbox{-}[[(4\hbox{-}imidazoleacetyl})]amino]pentyl]\hbox{-}3\hbox{-}pyrrolidinone;}$

(3RS,4RS)-4-[(4-phenoxybenzoyl)amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinolycarbonyl)-Lleucinyl]amino]-3-pyrrolidinol;

 $1\hbox{-}(3\hbox{-nitrobenzyl})\hbox{-}4\hbox{-}[[N^\alpha\hbox{-}(4\hbox{-pyridinylmethoxycarbonyl})\hbox{-}L\hbox{-leucinyl}]amino]\hbox{-}3\hbox{-}pyrrolidinone;}$

(3RS,4RS)-1-(2-nitrobenzyl)-4-[[N^{α} -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;

1-(2-nitrobenzyl)-4-[[N $^{\alpha}$ -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-(4-cyanobenzyl)-4- $[[[N^{\alpha}-(4$ -pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;

1-(4-cyanobenzyl)-4-[[N^{α} -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-(4-bromobenzyl)-4- $[[N^{\alpha}-(4$ -pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;

1-(4-bromobenzyl)-4-[[N^{α} -(4-pyridinylmethoxycarbonyl)-L-leucinyl] amino]-3-pyrrolidinone;

(3RS,4RS)-1-phenethyl-4-[[N^{α} -(4-pyridinylmethoxycarbonyl)-L-leucinyl] amino]-3-pyrrolidinol;

1-phenethyl-4- $[[N^{\alpha}$ -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

Group Art Unit: 1624

1-(3-aminobenzyl)-4-[[N^{α} -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

 $(3RS,4RS)-1-(3-benzyloxybenzyl)-4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;$

1-(3-benzyloxybenzyl)-4-[[N^{α} -(4-pyridinylmethoxycarbonyl)-L-leucinyl] amino]-3-pyrrolidinone;

 $(3RS,4RS)-1-(3-hydroxybenzyl)-4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol$

1-(3-hydroxybenzyl)-4-[[N $^{\alpha}$ -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-ethyl-4- $[[N^{\alpha}$ -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;

1-ethyl-4- $[N^{\alpha}$ -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-cyclopropylmethyl-4- $[[N^{\alpha}$ -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;

1-cyclopropylmethyl-4-[[N^{α} -(4-pyridinylmethoxycarbonyl)-L-leucinyl] amino]-3-pyrrolidinone;

 $(3RS,4RS)-1-(2-N,N-dimethylaminoethyl)-4-[[[N^{\alpha}-(4-pyridinylmethoxy carbonyl)-L-leucinyl]amino]-3-pyrrolidinol;$

1-(2-N,N-dimethylaminoethyl)-4-[[N^{α} -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

 $(3RS,4RS)-1-(2-morpholinoethyl)-4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinonol;$

1-(2-morpholinoethyl)-4-[[N^{α} -(4-pyridinylmethoxycarbonyl)-L-leucinyl] amino]-3-pyrrolidinone;

(3RS,4RS)-1-(2-bromobenzyl)-4-[[N $^{\alpha}$ -(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinonol;

1-(2-bromobenzyl)-4-[[N^{α} -(2-pyridinylmethoxycarbonyl)-L-leucinyl] amino]-3-pyrrolidinonone;

 $(3RS,4RS)-4-[[N^{\alpha}-(4-pyrdinylmethoxy)carbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(4-pyrdinylmethoxy)carbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(4-pyrdinylmethoxy)carbonyl)-L-leucinyl]amino]-1-$

 $[(2S)\text{-}4\text{-}methyl\text{-}2\text{-}[[(benzyloxycarbonyl)]aminomethyl]pentyl]\text{-}3\text{-}pyrrolidinol};$

 $4-[[N^{\alpha}-(4-pyrdinylmethoxy)carbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]aminomethyl]pentyl]-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-[(2-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-[(2-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-[(3-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;$

```
U.S. Serial No.:
                                                       10/789,063
Group Art Unit:
                                                       1624
                  4-[[N^{\alpha}-[(3-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
                 (3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-methyl-2-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-(2S)-4-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
                  4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
                  (3RS,4RS)-4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-
4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
                  4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
                  (3RS,4RS)-1-benzyl-4-[[N^{\alpha}-(2-nitro-a-toluenesulphonyl)-L-leucinyl]amino]-3-
pyrrolidinol;
                  1-benzyl-4-[[[N^{\alpha}-(2-nitro-\alpha-toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
                  (3RS,4RS)-1-benzyl-4-[[N^{\alpha}-(phenylsulphonyl)-L-leucinyl]amino]-3-pyrrolidinol;
                  1-benzyl-4-[[N^{\alpha}]-(phenylsulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
                 (3RS,4RS)-1-benzyl-4-[[N^{\alpha}-(a-toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinol;
                  1-benzyl-4-[[N^{\alpha}-(a-toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
                  (3RS,4RS)-1-benzyl-4-[[N^{\alpha}-(2-naphthylsulphonyl)-L-leucinyl]amino]-3-
pyrrolidinol;
                  1-benzyl-4-[N^{\alpha}-(2-naphthylsulphonyl)-L-leucinyl]amino]-3-pyrrolidinone
                 (3RS,4RS)-1-benzyl-4-[[N^{\alpha}-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-
piperidinol;
                  1-benzyl-4-[N^{\alpha}-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-piperidinone
                  (3RS,4RS)-1-benzyl-4-[[N^{\alpha}-(2-quinolinylcarbonyl)-L-leucinyl]amino]-3-piperidinol;
                  1-benzyl-4-[N^{\alpha}-(2-quinolinylcarbonyl)-L-leucinyl]amino]-3-piperidinone;
                  (3RS,4RS)-1-benzyl-4-[[N^{\alpha}-(2-naphthylsulphonyl]amino]-3-piperidinol;
                  1-benzyl-4-[N^{\alpha}-(2-naphthylsulphonyl)-L-leucinyl]amino]-3-piperidinone;
                  (3RS,4RS)-4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]aminomethyl]-1-
[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinol;
                  4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;
                  (3RS,4RS)-4-[(2S)-4-methyl-2-[(benzyloxycarbonyl)amino]pentyl]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;
                  4-[(2S)-4-methyl-2-[(benzyloxycarbonyl)amino]pentyl]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
                  (3RS,4RS)-4-[[N^{\alpha}-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-fluor
toluenesulphonyl)amino]ethyl]-3-pyrrolidinol;
                  4-[[N^{\alpha}-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(\alpha-toluenesulphonyl)amino]ethyl]-3-
pyrrolidinone;
                  (3RS,4RS)-4-[[N^{\alpha}-(4-fluorobenzoyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinol;
                  4-[[Nα-(4-fluorobenzoyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinone;
                  (3RS,4RS)-4-[[N\alpha-(piperonylcarbonyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinol;
                  4-[[N^{\alpha}-(piperonylcarbonyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinone;
```

 $(3RS,4RS)-4-[[N^{\alpha}-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(4-fluorobenzoyl) amino]$

Group Art Unit: 1624

ethyl]-3-pyrrolidinol;

 $4-[[N^{\alpha}-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(4-fluorobenzoyl)amino]ethyl]-3-pyrrolidinone;$

(3RS,4RS)-4- $[[N^{\alpha}-(tert-butoxycarbonyl)-L-leucinyl]$ amino]-1-benzoyl-3-pyrrolidinol;

4-[[Nα-(*tert*-butoxycarbonyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinone;

 $(3RS,4RS)-4-[[N^{\alpha}-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinol;$

 $4-[[N^{\alpha}-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)amino] pentyl]-3-pyrrolidinone;$

 $\label{eq:carboxybenzoyl} 4-[[N^{\alpha}-(4-carboxybenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)amino] pentyl]-3-pyrrolidinone;$

1-benzyl-4- $[N^{\alpha}$ -(4-carboxybenzoyl)-L-leucinyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-benzyl-4- $[[N^{\alpha}-(4-carboxymethyl)benzoyl)-L$ -leucinyl]amino]-3-pyrrolidinol;

1-benzyl-4- $[N^{\alpha}$ -(4-carboxymethyl)benzoyl)-L-leucinyl]amino]-3-pyrrolidinone;

(3RS,4RS)-4- $[[N^{\alpha}-[(4-carboxymethyl)benzoyl]$ -L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinol;

 $4-[[N^{\alpha}-[(4-carboxymethyl)benzoyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;$

(3RS,4RS)-1-phenethyl-4- $[[N^{\alpha}-(2-amino-\alpha-toluenesulphonyl)$ -L-leucinyl]amino]-3-pyrrolidinol;

1-phenethyl-4-[[N^{α} -(2-amino- α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;

 $(3RS,4RS)-4-[[N^{\alpha}-(2-naphthylcarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinol;$

4-[[Nα-(2-naphthylcarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinone;

 $(3RS,4RS)-4-[[N^{\alpha}-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinol;$

4-[[Nα-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinone;

 $(3RS,4RS)\text{-}4\text{-}[[N^{\alpha}\text{-}(3\text{-}isoquinoline carbonyl})\text{-}L\text{-}leucinyl]amino]\text{-}1\text{-}benzoyl\text{-}3\text{-}piperidinol};$

 $4-[[N^{\alpha}-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinone;$

(3RS,4RS)-4-[[(2S)-4-methyl-2-(benzyl)oxy]pentanoyl]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol;

4-[[(2S)-4-methyl-2-(benzyl)oxy]pentanoyl]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;

(3RS,4RS)-4-[[3-(2-pyridyl)phenylacetyl)]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinol;

4-[[3-(2-pyridyl)phenylacetyl)]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;

 $(3RS,4RS)-4-[[N^{\alpha}-(p-trifluoromethanephenylsulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinol;$

 $4-[[N^{\alpha}-(p-trifluoromethanephenylsulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(2-naphthylsulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinol;$

4- $[[N^{\alpha}-(2-naphthy|sulphony|)-L-leuciny|]$ amino]-1-[3-(2-pyridy|)phenylacety|)]-3-piperidinone; (3RS,4RS)-4- $[[N^{\alpha}-(3,4-dichloropheny|sulphony|)-$

Group Art Unit: 1624

L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinol;

 $4-[[N^{\alpha}-(3,4-dichlorophenylsulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;$

(3RS,4RS)-4- $[[N^{\alpha}$ -(methanesulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinol;

 $4-[[N^{\alpha}-(methane sulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;$

 $(3RS,4RS)-4-[[N^{\alpha}-(4-fluorophenylsulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinol; or$

 $4-[[N^{\alpha}-(4-fluorophenylsulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;$

or a pharmaceutically acceptable salt thereof.

17 (**Previously Presented**). A compound which is:

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-(phenoxybenzamide)]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-(biphenylethanoyl)]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(tert-butoxyoxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinonone;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-(aminomethyl)pentanoyl]-3-pyrrolidinone;$

4-[[N $^{\alpha}$ -(benzyloxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-pyrrolidinone;

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(N-tert-butoxycarbonyl)ethanoyl]aminomethyl]pentanoyl]-3-pyrrolidinone;$

 $4\hbox{-}[[N^\alpha\hbox{-}(benzyloxycarbonyl)\hbox{-}L-leucinyl]amino]\hbox{-}1\hbox{-}[(2S)\hbox{-}4\hbox{-}methyl\hbox{-}2\hbox{-}[(ethanoyl)aminomethyl]pentanoyl]\hbox{-}3\hbox{-}pyrrolidinone;}$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(tert-butoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(benzyloxycarbony)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;$

 $4-[[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl) amino]ethanoyl]-3-pyrrolidinonone;$

 $\label{eq:constraint} 4\hbox{-}[[N^\alpha\hbox{-}(benzyloxycarbony)\hbox{-}L\hbox{-}leucinyl]amino]\hbox{-}1\hbox{-}[(2S)\hbox{-}2\hbox{-}[[(benzyloxycarbonyl)]amino]propanoyl]\hbox{-}3\hbox{-}pyrrolidinone;}$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[cyclohexanepropanoyl]-3-pyrrolidinone;$

Group Art Unit: 1624

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(4-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(2-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(3-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(2-pyridylcarbonyl)-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-(biphenyl)ethanoyl]-3-piperidinone;$

 $4\hbox{-}[[N^\alpha\hbox{-}(benzyloxycarbonyl)\hbox{-}L-leucinyl]amino]\hbox{-}1\hbox{-}[(2S)\hbox{-}4\hbox{-}methyl\hbox{-}2\hbox{-}[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]\hbox{-}3\hbox{-}piperidinone};$

4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-piperidinone;

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[[(benzyloxycarbonyl)]isobutylamino]ethanoyl]-3-piperidinone;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(tert-butoxycarbonyl)amino]ethanoyl]-3-piperidinone;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-(amino)ethanoyl]-3-piperidinone;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentanoyl)-3-piperidinone;$

 $\hbox{$4$-[[N^\alpha$-(benzyloxycarbonyl)-$L-leucinyl]$amino]-1-(benzoyl)-3-piperidinone;}$

 $\hbox{$4$-[[N^\alpha$-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(acetyl)-3-piperidinone;}$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]$ amino]-1-(2-pyridoxyacetyl)-3-piperidinone;

4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-

[(benzy loxy carbony l) methy lamino] ethanoy l] - 3-piperidin one;

 $4-[[N^{\alpha}-(benzyloxycarbony)-L-leucinyl]amino]-1-[3-(2-pyridyl) phenylacetyl)]-3-piperidinone;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl) methylamino]ethanoyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-(phenoxy)ethanoyl]-3-pyrrolidinone;$

4-[[[N $^{\alpha}$ -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2-phenyl) ethanoyl]-3-pyrrolidinone;

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbony)-L-leucinyl]amino]-1-ethanoyl-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]$ amino]-1-(4-cyanobenzoyl)-3-pyrrolidinone;

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(3-pyridinylmethoxycarbonyl)-L-leucinyl]$ amino]-1-tert-butoxycarbonyl-3-pyrrolidinone;

 $4-[[N^{\alpha}-(3-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;$

Group Art Unit: 1624

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;$

 $4-[[N^{\alpha}idinylmethoxycarbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-meth$

[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(4-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[1-(adamantyl) carbonyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methyl-pentanoyl)-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-D-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;$

 $4-[[N^{\alpha}-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-N^{\epsilon}-(tert-butoxycarbonyl)-L-lysine]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;$

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]$ amino]-1-tert-butoxycarbonyl-3-piperidinone;

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentanoyl)-3-piperidinone;$

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-3-piperidinone;$

4-[[N-2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(methanesulphonyl)-3-piperidinone;$

 $\hbox{4-[[N$^\alpha$-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(phenylsulphonyl)-3-piperidinone;}$

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(8-quinolinesulphonyl)-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(2-pyridylsulphonyl)-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2-propoxy) carbonyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(3-methyl-1-propoxy)carbonyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(4-phenoxy) phenylsulphonyl]-3-pyrrolidinone;$

 $\mbox{$4$-[[N^{\alpha}$-(benzyloxycarbonyl)-L-leucinyl]amino]-1$-[(4$-phenoxy) phenylsulphonyl]-3-piperidinone;}$

 $4-[[N^{\alpha}-(3,4-dichlorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;$

Group Art Unit: 1624

 $4-[[N^{\alpha}-(6-quinoline carbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-$

[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-

[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;

 $\hbox{$4$-[(2-dibenzofuran sulphonyl)amino]-1-[(2S)-4-methyl-2-methy$

[[(benzyloxycarbonyl)]methylamino]pentanoyl]-3-pyrrolidinone;

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;$

 $4-[[N^{\alpha}-(2-pyridylcarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;$

 $4-[[N^{\alpha}-(3-\text{chlorobenzoyl})-L-\text{leucinyl}]$ amino]-1-(4-methylpentyl)-3-piperidinone;

 $4-[[N^{\alpha}-(2-quinoline carbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;$

 $4-[[N^{\alpha}-(3,4-\text{dichlorobenzoyl})-L-\text{leucinyl}]$ amino]-1-(4-methylpentyl)-3-piperidinone;

4-[[N^α-(8-quinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone

4-[[N $^{\alpha}$ -(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;

 $4-[[N^{\alpha}-(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;$

 $4-[[N^{\alpha}-(acetyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;$

 $4-[[N^{\alpha}-(p-trifluoromethylbenzenesulphonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;$

 $4-[[N^{\alpha}-(6-quinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;$

4-[[2-(RS)-[(3-biphenyl)-4-methyl]amino]pentanoyl]-1-(4-methylpentyl)-3-piperidinone;

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl) methylamino]ethyl]-3-piperidinone;$

 $4-[[N^{\alpha}-(a-toluenesulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl) phenylacetyl)]-3-piperidinone;$

 $4-[[N^{\alpha}-(2-naphthylcarbonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl) phenylacetyl)]-3-piperidinone;$

 $4-[[N^{\alpha}-(benzensulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;$

 $4-[[N^{\alpha}-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;$

4-[3-[(2-pyridyl)phenylacetyl)]amino]-1-[(2S)-4-methyl-2-

[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;

4-[3-[(2-pyridyl)phenylacetyl)]amino]-1-[(2S)-4-methyl-2-[[2-(pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-piperidinone;

 $4-[[N^{\alpha}-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(2S)-4-$

[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

 $4-[[N^{\alpha}-(tert-butoxyoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;$

4-[(L-leucinyl)amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)] amino]pentyl]-3-pyrrolidinone;

 $4-[[N^{\alpha}-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;$

```
U.S. Serial No.:
                       10/789,063
Group Art Unit:
                       1624
       4-[[N^{\alpha}-(piperonylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
       4-[[N^{\alpha}-(4-\text{fluorobenzoyl})-\text{L-leucinyl}]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
       4-[[N^{\alpha}-(2-pyridylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
       4-[[N^{\alpha}-(2-nitro-a-toluenesulphonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
       4-[[N^{\alpha}-(8-quinolinesulphonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
       4-[[N^{\alpha}-(2-naphthylcarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
       4-[[N^{\alpha}-(2-quinolinylcarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
       4-[[N<sup>α</sup>-(phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
       4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
       4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
       4-[[Nα-(phenylacetyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
       4-[[N^{\alpha}-(4-imidazoleacetyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
       4-[[N^{\alpha}-(4-imidazoleacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
       4-[[N^{\alpha}-(4-pyridinylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
       4-[[N^{\alpha}-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-
piperidinone;
       4-[[N^{\alpha}-(8-quinolinesulphonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-
piperidinone;
       4-[[N^{\alpha}-(4-pyridinylacetyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-piperidinone;
       4-[[N^{\alpha}-(4-imidazoleacetyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-piperidinone;
       4-[[N^{\alpha}-(4-pyridinylcarbonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-
piperidinone;
       1-benzyl-4-[N^{\alpha}-(3-isoquinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
       1-benzyl-4-[N^{\alpha}-(3,4-dichlorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinone;
        1-benzyl-4-[[N^{\alpha}-(2-naphthylcarbonyl)-L-leucinyl]aminomethyl]-3-pyrrolidinone;
```

1-benzyl-4-[[N^{α} -(2-quinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone; 1-benzyl-4-[[N^{α} -(piperonylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

1-benzyl-4- $[N^{\alpha}$ -(2-quinolinylcarbonyl)-L-leucinyl]aminomethyl]-3-pyrrolidinone;

1-benzyl-4- $[[N^{\alpha}-(4-fluorobenzoyl)-L-leucinyl]$ amino]-3-pyrrolidinone;

1-benzyl-4- $[[N^{\alpha}-(6-hydroxy-2-naphthylcarbonyl)-L-leucinyl]$ amino]-3-

Group Art Unit: 1624

pyrrolidinone;

1-benzyl-4- $[N^{\alpha}$ -(2-naphthylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

1-benzyl-4- $[N^{\alpha}$ -(6-quinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

1-benzyl-4- $[N^{\alpha}$ -(4-imidazoleacetyl)-L-leucinyl]amino]-3-pyrrolidinone;

1-benzyl-4- $[N^{\alpha}$ -(4-pyridinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

 $4-[[N^{\alpha}-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-benzyloxycarbonyl-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(tert-butoxycarbonyl)]amino]pentyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-$

[(2S)-4-methyl-2-(amino)pentyl]-3-pyrrolidinone;

 $4-[[N^{\alpha}-(2-methylpropoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(methylamino)thiocarbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(phenylmethylamino)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(3,4-dichlorophenylamino)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;$

1-benzyl-4- $[N^{\alpha}$ -(3,4-dichlorophenylamino)-L-leucinyl]amino]-3-pyrrolidinone;

 $4-[[N^{\alpha}-(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(p-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;$

4-[[[N $^{\alpha}$ -(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(acetyl)amino]pentyl]-3-pyrrolidinone;

 $\mbox{4-[[[N$^{\alpha}$-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(acetyl)amino]pentyl]-3-pyrrolidinone;}$

 $4-[[N^{\alpha}-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(p-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(4-\text{fluorobenzoyl})-L-\text{leucinyl}]$ amino]-1-[(2S)-4-methyl-2-[(methanesulphonyl)amino]pentyl]-3-pyrrolidinone;

 $4\hbox{-}[[N^\alpha\hbox{-}(4\hbox{-fluorobenzoyl})\hbox{-}L\hbox{-leucinyl}]amino]\hbox{-}1\hbox{-}[(2S)\hbox{-}4\hbox{-methyl-}2\hbox{-}[(a-toluenesulphonyl)amino}]pentyl]\hbox{-}3\hbox{-}pyrrolidinone;}$

 $\hbox{$1$-(2-phenethyl)-4-[[N^\alpha-(4-fluor obenzoyl)-L-leucinyl]amino]-3-pyrrolidinone;}$

 $1\hbox{-}(2\hbox{-phenethyl})\hbox{-}4\hbox{-}[[N^\alpha\hbox{-}(2\hbox{-quinolinylcarbonyl})\hbox{-}L\hbox{-leucinyl}] amino]\hbox{-}3\hbox{-pyrrolidinone};$

 $1-(2-phenethyl)-4-[[N^{\alpha}-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;$

1-(2-phenethyl)-4-[[N^{α} -(α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;

1-(2-phenethyl)-4-[[N^{α} -(2-nitro- α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;

 $4-[[N^{\alpha}-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(4-pyridinylcarbonyl)]amino]pentyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(p-toluenesulphonyl)]amino]pentyl]-3-pyrrolidinone;$

Group Art Unit: 1624

 $4-[[N^{\alpha}-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(4-imidazoleacetyl)]amino]pentyl]-3-pyrrolidinone;$

1-(3-nitrobenzyl)-4-[[N^{α} -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

1-(2-nitrobenzyl)-4-[[N $^{\alpha}$ -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

1-(4-cyanobenzyl)-4-[[N $^{\alpha}$ -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

1-(4-bromobenzyl)-4-[[N $^{\alpha}$ -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

1-phenethyl-4-[[N^{α} -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

1-(3-aminobenzyl)-4-[[N^{α} -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

1-(3-benzyloxybenzyl)-4-[[N $^{\alpha}$ -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

1-(3-hydroxybenzyl)-4-[[N^{α} -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

1-ethyl-4- $[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]$ amino]-3-pyrrolidinone;

1-cyclopropylmethyl-4-[[N^{α} -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

1-(2-N,N-dimethylaminoethyl)-4- $[[N^{\alpha}$ -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

1-(2-morpholinoethyl)-4-[[N^{α} -(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

1-(2-bromobenzyl)-4-[[N $^{\alpha}$ -(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinonone;

 $4-[[N^{\alpha}-(4-pyrdinylmethoxy)carbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;$

 $4\hbox{-}[[N^\alpha\hbox{-}(4\hbox{-pyrdinylmethoxy})\hbox{carbonyl})\hbox{-}L\hbox{-leucinyl}]\hbox{amino}]\hbox{-}1\hbox{-}[(2S)\hbox{-}4\hbox{-methyl}\hbox{-}2\hbox{-}[[(benzyloxycarbonyl)]]\hbox{aminomethyl}]\hbox{pentyl}]\hbox{-}3\hbox{-pyrrolidinone};$

 $4-[[N^{\alpha}-[(2-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-[(3-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;$

 $4-[[N^{\alpha}-(4-pyridinylmethoxycarbonyl)-L-leucinyl]$ aminomethyl]-1-[(2S)-4-methyl-2-[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

1-benzyl-4-[[[N^{α} -(2-nitro- α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;

1-benzyl-4- $[[N^{\alpha}$ -(phenylsulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;

1-benzyl-4- $[N^{\alpha}$ -(a-toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;

1-benzyl-4- $[N^{\alpha}$ -(2-naphthylsulphonyl)-L-leucinyl]amino]-3-pyrrolidinone

1-benzyl-4- $[N^{\alpha}$ -(2-naphthylcarbonyl)-L-leucinyl]amino]-3-piperidinone

Group Art Unit: 1624

1-benzyl-4- $[[N^{\alpha}-(2-quinolinylcarbonyl)-L-leucinyl]$ amino]-3-piperidinone;

1-benzyl-4- $[N^{\alpha}$ -(2-naphthylsulphonyl)-L-leucinyl]amino]-3-piperidinone;

 $\hbox{4-[[N$^\alpha$-(benzyloxycarbonyl)-$L-leucinyl]} aminomethyl]-1-[(2S)-4-methyl-2-meth$

 $\hbox{$[[(benzyloxycarbonyl)]a minomethyl] pentanoyl]-3-pyrrolidinone;}$

4-[(2S)-4-methyl-2-[(benzyloxycarbonyl)amino]pentyl]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;

4-[[N $^{\alpha}$ -(4-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(α -toluenesulphonyl)amino]ethyl]-3-pyrrolidinone;

4-[[Nα-(4-fluorobenzoyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinone;

4-[[Nα-(piperonylcarbonyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinone;

 $4-[[N^{\alpha}-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(4-fluorobenzoyl)amino]ethyl]-3-pyrrolidinone;$

4-[[Nα-(*tert*-butoxycarbonyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinone;

 $4-[[N^{\alpha}-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(4-fluorobenzoyl)-[(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(4-fluorobenzoyl)-[(4-fluorobenzoyl)-[(4-fluorobenz$

fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;

 $4-[[N^{\alpha}-(4-carboxybenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;$

1-benzyl-4- $[N^{\alpha}$ -(4-carboxybenzoyl)-L-leucinyl]amino]-3-pyrrolidinone;

1-benzyl-4- $[N^{\alpha}$ -(4-carboxymethyl)benzoyl)-L-leucinyl]amino]-3-pyrrolidinone;

 $4-[[N^{\alpha}-[(4-carboxymethyl)benzoyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;$

 $1\text{-phenethyl-4-}[[N^{\alpha}\text{-}(2\text{-amino-}\alpha\text{-toluenesulphonyl})\text{-}L\text{-leucinyl}] amino]\text{-}3\text{-}pyrrolidinone;}$

4-[[Nα-(2-naphthylcarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinone;

4-[[Nα-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinone;

4-[[Nα-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinone;

 $\hbox{$4$-[[(2S)-4-methyl-2-(benzyl)oxy]pentanoyl]-1-[(2S)-4-methyl-2-(benzyl)oxy]$ pentanoyl]-1-[(2S)-4-methyl-2-(benzyl)oxy]$ and $a_1 = a_1 = a_2 = a$

[[(benzyloxycarbonyl)]amino]pentanoyl]- 3-piperidinone;

4-[[3-(2-pyridyl)phenylacetyl)]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;

 $4-[[N^{\alpha}-(p-trifluoromethanephenylsulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;$

 $4-[[N^{\alpha}-(2-naphthylsulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;$

 $4\hbox{-}[[N^\alpha\hbox{-}(3,4\hbox{-}dichlorophenylsulphonyl)-L-leucinyl]amino]-1\hbox{-}[3\hbox{-}(2\hbox{-}pyridyl)phenylacetyl)]-3-piperidinone;$

 $4-[[N^{\alpha}-(methane sulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone; or$

 $4-[[N^{\alpha}-(4-fluorophenylsulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;$

or a pharmaceutically acceptable salt thereof.

18 (**Previously presented**). A pharmaceutical composition comprising a compound according to claim 1 and a pharmaceutically acceptable carrier.

Group Art Unit: 1624

19 (**Withdrawn**). A method of inhibiting a cysteine protease which comprises administering a compound according to claim 1.

- 20 (**Withdrawn**). A method according to claim 19 wherein the cysteine protease is cathepsin K.
- 21 (**Withdrawn**). A method of inhibiting bone loss which comprises administering a compound according to claim 1.
- 22 (**Withdrawn**). A method of treating osteoporosis which comprises administering a compound according to claim 1.
- 23 (Withdrawn). A method of treating gingival or periodontal disease which comprises administering a compound according to claim 1.
- 24 (**Withdrawn**). A method of treating a disease characterized by excessive cartilage or matrix degradation which comprises administering a compound according to claim 1.
- 25 (**Withdrawn**). A method according to claim 24 wherein said disease is osteoarthritis or rheumatoid arthritis.

Claims 26-33 (Cancelled).

- 34 (**Withdrawn**). A process for preparing a compound of the formula (I) as defined in claim 1, which process comprises:
 - (A) for compounds in which A is CH(OH):
 - (i) reacting a compound of the formula (III):

or a salt thereof,

or

wherein R¹, R", R" and n are as defined in formula (I) of claim 1, with any reactive functional groups protected, with:

(a) $R^5C(O)Cl$, in which R^5 is as defined in formula (I) of claim 1;

(b) $R^5C(O)OH$, in which R^5 is as defined in formula (I) of claim 1, in the presence of EDC and HOBT; or

(c) $R^5C(O)H$, in which R^5 is as defined in formula (I) of claim 1, followed by reduction; or

(d) $R^5OC(O)Cl$, in which R^5 is as defined in formula (I) of claim 1, in the presence of base; or

(e) R^5SO_2Cl , in which R^5 is as defined in formula (I) of claim 1, in the presence of base; or

$$\mathbb{R}^7$$
 \mathbb{N} \mathbb{N} \mathbb{N} \mathbb{N} \mathbb{N} \mathbb{N} \mathbb{N} \mathbb{N}

(f) \dot{R}^3 wherein R^3 , R^6 and R^7 are as defined in

formula (I) of claim 1; or

(g) adamantyl-C(O)Cl;

(ii) reacting a compound of the formula (IV):

$$H$$
 N
 OH
 R
 R
 I
 R

wherein R^2 , R''' and n are as defined in formula (I) of claim 1, with any reactive functional groups protected, with:

$$\mathbb{R}^4$$
 \mathbb{N} \mathbb{N} \mathbb{N} \mathbb{N} \mathbb{N} \mathbb{N} \mathbb{N}

(a) R^3 , in which R^3 , R^4 and R' are as defined in formula (I) of claim 1, in the presence of EDC and HOBT; or

(b) O , in which R^* is as defined in formula (I) of claim 1, in the presence of EDC and HOBT; or

(c) , i formula (I) of claim 1, in the presence of EDC and HOBT; or

(iii) reacting a compound of the formula (V):

$$H$$
 N
 OH
 R
 R
 R
 OH
 (V)

wherein R''' and n are as defined in formula (I) of claim 1, with any reactive functional groups protected, and R^a is $C_{1\text{-}6}$ alkyl, $C_{3\text{-}6}$ cycloalkyl- $C_{0\text{-}6}$ alkyl, Ar- $C_{0\text{-}6}$ alkyl, or Het- $C_{0\text{-}6}$ alkyl with:

$$R^4$$
 $\stackrel{\text{R'}}{\underset{\text{R}^3}{\bigvee}}$ OH , in which R^3 , R^4 and R' are as defined in

formula (I) of claim 1, in the presence of EDC and HOBT; or

Ar
$$OH$$
, in which R* is as defined in formula (I) of

(b) , i claim 1, in the presence of EDC and HOBT; or

(c)

(a)

formula (I) of claim 1, in the presence of EDC and HOBT; or

$$(d) \qquad \qquad SO_2 - CI$$

- (B) for compounds in which A is C(O):
 - (i) reacting a compound of the formula (VI):

$$\begin{array}{c}
R^{1} & R'' \\
N & R'''
\end{array}$$

$$\begin{array}{c}
R''' & N \\
R'''' & N \\
R^{2} & (VI)
\end{array}$$

wherein R^1 , R^2 , $R^{"}$, $R^{"}$ and n are as defined in formula (I) of claim 1, with any reactive functional groups protected, with an oxidizing agent;

and thereafter removing any protecting groups and optionally forming a pharmaceutically acceptable salt.